



SEQUENCE LISTING

<110> Chorev, Michael
Dong, Zheng Xin
Rosenblatt, Michael

<120> PTH2 RECEPTOR SELECTIVE COMPOUNDS

<130> 00537-169002

<140> US 09/674,597

<141> 2000-11-02

<150> PCT/US99/09521

<151> 1999-05-03

<150> US 09/072,956

<151> 1998-05-05

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<212> PRT

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1

5

10

15

Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His

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25

30

Asn Phe

<210> 2

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<212> PRT

<213> Homo sapiens

<400> 2

Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln

1

5

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Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His

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25

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Thr Ala

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<221> AMIDATION

<222> 34

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Ala Val Ser Glu Ile Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
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 20 25 30
 Thr Ala

<210> 4

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<223> amino acid is attached to R3, which represents OH,
 NH₂, (C1-C30)alkoxy or NH-Y-CH₂-Z, where Y is a
 (C1-C30) hydrocarbon moiety and Z is CO₂H or CONH₂

<400> 4

Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn
 1 5 10 15
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
 20 25 30
 Asn Phe

<210> 5

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 (C1-C30) hydrocarbon moiety and Z is CO₂H or CONH₂

<400> 5

Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn
 1 5 10 15
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
 20 25 30
 Asn Phe Val
 35

<210> 6

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<400> 6
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 1 5 10 15
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
 20 25 30
 Asn Phe Val Ala
 35

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<400> 7
 Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn
 1 5 10 15
 Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
 20 25 30
 Asn Phe Val Ala Leu
 35

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 (C1-C30) hydrocarbon moiety and Z is CO₂H or CONH₂

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Ser Val Ser Glu Ile Gln Leu Met His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
           20           25           30
Asn Phe Val Ala Leu Gly
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 (C1-C30) hydrocarbon moiety and Z is CO₂H or CONH₂

<400> 9

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Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1           5           10           15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
           20           25           30
Thr Ala

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<210> 10

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<223> amino acid is attached to R3, which represents OH,
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 (C1-C30) hydrocarbon moiety and Z is CO₂H or CONH₂

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Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1           5           10           15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
           20           25           30
Thr Ala Glu
           35

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NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a
(C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 11
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
1 5 10 15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
20 25 30
Thr Ala Glu Ile
35

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NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a
(C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 12
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
1 5 10 15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His
20 25 30
Thr Ala Glu Ile Arg
35

<210> 13
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NH2, (C1-C30)alkoxy or NH-Y-CH2-Z, where Y is a
(C1-C30) hydrocarbon moiety and Z is CO2H or CONH2

<400> 13
Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
1 5 10 15
Asp Leu Arg Arg Arg Phe Phe Leu His His Leu Ile Ala Glu Ile His

20 25 30
 Thr Ala Glu Ile Arg Ala
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<210> 14
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 1 5 10 15
 Asp Leu Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His
 20 25 30
 Thr Ala Glu Ile
 35

<210> 15
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<400> 15
 Ala Val Ser Glu His Gln Leu Leu His Asp Lys Gly Lys Ser Ile Gln
 1 5 10 15
 Asp Leu Arg Arg Arg Phe Trp Leu His His Leu Ile Ala Glu Ile His
 20 25 30
 Thr Ala Glu Ile
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<210> 16
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<221> MOD_RES
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 <223> Xaa = norleucine (Nle)

<221> AMIDATION
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 Ser Val Ser Glu Ile Gln Xaa His Asn Xaa Gly Lys His Leu Asn Ser

1 5 10 15
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Tyr

<210> 17
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 <223> Xaa = norleucine (Nle)

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 <223> Xaa = [125I]-3-iodotyrosine

<221> AMIDATION
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 Ser Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His
 20 25 30
 Asn Xaa

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 1 5 10 15
 Met Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Phe

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20 25 30
Phe

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<221> AMIDATION
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1 5 10 15
Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn Tyr
20 25 30

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<221> AMIDATION
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1 5 10 15
Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn Phe
20 25 30

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 1 5 10 15
 Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn Phe
 20 25 30

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<221> AMIDATION
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 Ser Val Ser Glu Ile Gln Leu His Asn Leu Gly Lys His Leu Asn Ser
 1 5 10 15
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Tyr

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 1 5 10 15

Ser Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Phe

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<221> AMIDATION
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 20 25 30
 Phe

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 1 5 10 15
 Ser Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Tyr

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<221> AMIDATION

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Ser	Val	Ser	Glu	Ile	Leu	Xaa	His	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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Ser	Val	Ser	Glu	Ile	Gln	Xaa	His	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<223> Xaa = norleucine (Nle)

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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	Asn	Leu	Gly	Lys	His	Leu	Asn	Ser
-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----	-----

1	5	10	15												
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		
Tyr															

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<221> AMIDATION
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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Leu	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		
Tyr															

<210> 31
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<221> AMIDATION
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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Asn	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		
Tyr															

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<221> AMIDATION
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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Asn	Leu	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<221> AMIDATION
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Ser	Val	Ser	Glu	Ile	Gln	Leu	Xaa	His	Asn	Leu	Gly	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<221> AMIDATION
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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys Leu Asn Ser
 1 5 10 15
 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Tyr

<210> 35
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 1 5 10 15
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 20 25 30
 Tyr

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 Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
 20 25 30
 Tyr

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<221> AMIDATION
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Xaa Glu Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
20 25 30
Tyr

<210> 38
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<221> AMIDATION
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1 5 10 15
Ser Xaa Arg Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
20 25 30
Tyr

<210> 39
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<221> AMIDATION
<222> 33

<400> 39

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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Xaa Glu Val Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 40

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Ser Val Ser Glu Ile Gln Leu Xaa His Asn Leu Gly Lys His Leu Asn
 1           5           10           15
Ser Xaa Glu Arg Glu Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 41

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Ser Xaa Glu Arg Val Trp Leu Arg Lys Lys Leu Gln Asp Val His Asn
           20           25           30
Tyr

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<210> 42

<211> 33

<212> PRT

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<221> MOD_RES

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<223> Xaa = cyclohexylalanine (Cha)

<221> MOD_RES

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<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 42

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1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 43

<211> 33

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<223> mutagen

<221> MOD_RES

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<223> Xaa = norleucine (Nle)

<221> MOD_RES

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<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION

<222> 33

<400> 43

Ser	Val	Ser	Glu	Ile	Gln	Xaa	His	Asn	Xaa	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

<210> 44

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<221> MOD_RES

<222> 7, 10

<223> Xaa = cyclohexylalanine (Cha)

<221> MOD_RES

<222> 8, 17

<223> Xaa = norleucine (Nle)

<221> AMIDATION

<222> 33

<400> 44

Ser	Val	Ser	Glu	Ile	Gln	Xaa	Xaa	Asn	Xaa	Gly	Lys	His	Leu	Asn	Ser
1				5					10					15	
Xaa	Glu	Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn
			20					25					30		

Tyr

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<221> AMIDATION

<222> 31

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1				5					10					15	
Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn	Phe	
			20					25					30		

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<211> 31

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<220>

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<221> MOD_RES

<222> 7, 11

<223> Xaa = cyclohexylalanine (Cha)

<221> AMIDATION

<222> 31

<400> 46

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1				5					10					15	
Arg	Val	Glu	Trp	Leu	Arg	Lys	Lys	Leu	Gln	Asp	Val	His	Asn	Phe	
		20						25					30		

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<211> 33

<212> PRT

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<223> mutagen

<221> AMIDATION

<222> 33

<400> 47

Ala	Val	Ser	Glu	Ile	Gln	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln	Asp
1				5					10					15	
Leu	Arg	Arg	Arg	Phe	Trp	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		

Ala

<210> 48

<211> 33

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> AMIDATION

<222> 33

<400> 48

Ala	Val	Ser	Glu	Ile	Gln	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln	Asp
1				5					10					15	
Leu	Arg	Arg	Arg	Phe	Phe	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		

Ala

<210> 49

<211> 33

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> AMIDATION

<222> 33

<400> 49

Ala Val Ser Glu His Gln Leu His Asp Lys Gly Lys Ser Ile Gln Asp

1		5		10		15
Leu	Arg	Arg	Arg	Phe	Trp	Leu
				His	His	His
		20		25		30
Ala						

<210> 50

<211> 33

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> AMIDATION

<222> 33

<400> 50

Ala	Val	Ser	Glu	Ile	Gln	Leu	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln
1				5					10					15	
Asp	Arg	Arg	Arg	Phe	Phe	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		
Ala															

<210> 51

<211> 33

<212> PRT

<213> Artificial Sequence

<220>

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<221> AMIDATION

<222> 33

<400> 51

Ala	Val	Ser	Glu	Ile	Gln	Leu	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln
1				5					10					15	
Asp	Arg	Arg	Arg	Phe	Trp	Leu	His	His	Leu	Ile	Ala	Glu	Ile	His	Thr
			20					25					30		
Ala															

<210> 52

<211> 33

<212> PRT

<213> Artificial Sequence

<220>

<223> mutagen

<221> AMIDATION

<222> 33

<400> 52

Ala	Val	Ser	Glu	His	Gln	Leu	Leu	His	Asp	Lys	Gly	Lys	Ser	Ile	Gln
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2

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Asn Phe